Preparation of pyrimidine derivatives as drugs for TITLE:

treating disease and disorders of cerebral blood

vessels

INVENTOR(S): Takatani, Takao; Takasugi, Hisashi; Kuno, Atsushi; Sugiyama, Yoshie; Sakai, Hiroyoshi; Okubo, Mitsuru

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 31 pp.

> CODEN: JKXXAF Patent.

DOCUMENT TYPE: LANGUAGE: Japanese

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PATENT INFORMATION:

PATENT NO.	KIND	DATE	API	PLICATION NO.		DATE
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JP 63107966	A	19880512	JP	1987-124326		19870520
RIORITY APPLN. INFO.:			JP	1986-117800	A1	19860522
THER SOURCE(S):	CASREAG	CT 109:17045	1; 1	MARPAT 109:170451		

OTHER SOURCE(S):

ED Entered STN: 12 Nov 1988

GI

AB The title compds. [I; Ar = (nitro or habalkyl)aryl, fused benzene-heterocyclyl containing N or O; X = bond, lower hydroxyalkylene, lower alkenylene, NH, S, CO; R1 = (esterified) CO2H, lower hydroxyalkyl, lower haloalkyl, (Nsubstituted) CONH2 or lower aminoalkyl; R2 = H, lower alkyl; optionally R1R2 completing (substituted) N-containing heterocycle; R3 = aryl], were prepared as drugs e.g. for treating apoplexy. A mixture of 6-bromomethyl-4-(3nitrophenyl)2-phenyl-5-pyrimidinecarboxylic acid Me ester and Me2NCH2CHNH2 in iso-PrOH was stirred at 70° for 1 h to give 6-[2-(dimethylamino)ethyl]4-(3nitrophenyl)-5-oxo-2-phenyl-6,7- dihydropyrrolo[3,4-d]pyrimidine. The latter at 10 mg/kg i.p. extended the survival time of mice from 28.2 ± 1.1 s (control) to 33.6 ± 2.9 s when the mice were exposed to 100% N atmospheric 116904-26-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as drug for treating apoplexy)

116904-26-8 HCAPLUS RN

CN

Piperazine, 1-methyl-4-[[6-methyl-4-[(4-nitrophenyl)thio]-2-phenyl-5pyrimidinyllcarbonyll- (9CI) (CA INDEX NAME)

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TC TCM C07D239-28
    ICS A61K031-505; C07D239-32; C07D239-42; C07D403-06; C07D413-04;
        C07D487-04
    28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
    Section cross-reference(s): 1
IT
   103294-21-9P 116904-11-1P 116904-12-2P 116904-13-3P 116904-14-4P
    116904-15-5P 116904-16-6P 116904-17-7P 116904-18-8P 116904-19-9P
    116904-20-2P 116904-21-3P 116904-22-4P 116904-23-5P 116904-24-6P
    116904-25-7P 116904-26-8P 116904-27-9P 116904-28-0P
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    116904-78-0P 116924-79-9P 116924-80-2P 117699-25-9P
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RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as drug for treating apoplexy)